Serial No.: 10/560,953

Filed: December 15, 2005

Page : 2 of 22

## Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

## **Listing of Claims**:

1. (Original) A process for preparing a compound of Formula V:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $V$ 

or salt thereof,

wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, -CH<sub>2</sub>-O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), or  $C_1$ - $C_4$  haloalkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are each, independently, H, halo, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, hydroxy, OR<sup>9</sup>, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR<sup>10</sup>R<sup>11</sup>, CN, NO<sub>2</sub>, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, halo, C<sub>1</sub>-C<sub>8</sub> haloalkyl, and alkoxy; or R<sup>4</sup> and R<sup>5</sup> together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 3 of 22

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring; comprising:

a) reacting a compound of Formula XII:

with a halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula XI:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $XI$ 

wherein X<sup>1</sup> is a leaving group;

b) reacting said compound of Formula XI with a compound of Formula:

or salt thereof, for a time and under conditions suitable for forming a compound of Formula X:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 4 of 22

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $X$ 

or salt thereof;

c) reacting said compound of Formula X with a further halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula IX:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $R^8$ 
 $R^8$ 

or salt thereof;

wherein  $X^2$  is halo or  $SO_2R$ " and R" is  $C_1$ - $C_8$  alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_1$ - $C_4$  alkoxy, or  $C_1$ - $C_4$  haloalkoxy; and

- d) reacting said compound of Formula IX with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.
  - 2. (Original) The process of claim 1 wherein said cyclizing reagent is AlCl<sub>3</sub>.
- 3. (Original) The process of claim 1 wherein said halogenating/sulfonating reagent is PBr<sub>3</sub> or PCl<sub>3</sub>.
- 4. (Original) The process of claim 1 wherein said further halogenating/sulfonating reagent is SOBr<sub>2</sub> or SOCl<sub>2</sub>.
  - 5. (Original) The process of claim 1 wherein  $X^2$  is Cl.

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 5 of 22

6. (Original) The process of claim 1 wherein  $X^1$  is Br.

7. (Original) The process of claim 1, 5, or 6 wherein R<sup>1</sup> is H, R<sup>2</sup> is Me, R<sup>3</sup> is H, R<sup>4</sup> is Cl, R<sup>5</sup> is H, R<sup>6</sup> is H, R<sup>8a</sup> is H, and R<sup>8b</sup> is H.

8. (Original) A process for preparing a compound of Formula V:

$$R^4$$
 $R^5$ 
 $R^8$ 
 $R^8$ 
 $R^8$ 
 $R^8$ 

or salt thereof,

wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, -CH<sub>2</sub>-O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), or  $C_1$ - $C_4$  haloalkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are each, independently, H, halo, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, hydroxy, OR<sup>9</sup>, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR<sup>10</sup>R<sup>11</sup>, CN, NO<sub>2</sub>, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, halo, C<sub>1</sub>-C<sub>8</sub> haloalkyl, and alkoxy; or R<sup>4</sup> and R<sup>5</sup> together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 6 of 22

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring; comprising:

a) reacting a compound of Formula XI:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $XI$ 

wherein  $X^1$  is a leaving group, with a compound of Formula:

or salt thereof, for a time and under conditions suitable for forming a compound of Formula X:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $X$ 

or salt thereof;

b) reacting said compound of Formula X with a halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula IX:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 7 of 22

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $R^8$ 
 $R^8$ 

or salt thereof;

wherein  $X^2$  is halo or  $SO_2R$ " and R" is  $C_1$ - $C_8$  alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_1$ - $C_4$  alkoxy, or  $C_1$ - $C_4$  haloalkoxy; and

- c) reacting said compound of Formula IX with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.
  - 9. (Original) The process of claim 8 wherein said cyclizing reagent is AlCl<sub>3</sub>.
- 10. (Original) The process of claim 8 wherein said halogenating/sulfonating reagent is SOBr<sub>2</sub> or SOCl<sub>2</sub>.
  - 11. (Original) The process of claim 8 wherein  $X^2$  is Cl.
  - 12. (Original) The process of claim 8 wherein  $X^1$  is Br.
- 13. (Original) The process of claim 8, 11, or 12 wherein  $R^1$  is H,  $R^2$  is Me,  $R^3$  is H,  $R^4$  is Cl,  $R^5$  is H,  $R^6$  is H,  $R^{8a}$  is H, and  $R^{8b}$  is H.
  - 14. (Original) A process for preparing a compound of Formula V:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 8 of 22

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $V$ 

or salt thereof,

wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, - $CH_2$ -O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), or  $C_1$ - $C_4$  haloalkyl;

 $R^3$ ,  $R^4$ ,  $R^5$ , and  $R^6$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, hydroxy,  $OR^9$ , alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl,  $NR^{10}R^{11}$ , CN,  $NO_2$ , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from  $C_1$ - $C_8$  alkyl, halo,  $C_1$ - $C_8$  haloalkyl, and alkoxy; or  $R^4$  and  $R^5$  together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring; comprising:

a) reacting a compound of Formula X:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 9 of 22

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $X$ 

or salt thereof;

with a halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula IX:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $R^8$ 
 $R^8$ 

or salt thereof;

wherein  $X^2$  is halo or  $SO_2R$ " and R" is  $C_1$ - $C_8$  alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_1$ - $C_4$  alkoxy, or  $C_1$ - $C_4$  haloalkoxy; and

- b) reacting said compound of Formula IX with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.
  - 15. (Original) The process of claim 14 wherein said cyclizing reagent is AlCl<sub>3</sub>.
- 16. (Original) The process of claim 14 wherein said reacting of step (b) is carried out in the presence of 1,2-dichlorobenzene.
- 17. (Original) The process of claim 14 wherein said halogenating/sulfonating reagent is SOBr<sub>2</sub> or SOCl<sub>2</sub>.
  - 18. (Original) The process of claim 14 wherein  $X^2$  is Cl.

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 10 of 22

19. (Original) The process of claim 14 wherein said reacting of step (a) is carried out in the presence of solvent.

- 20. (Original) The process of claim 19 wherein said solvent comprises dimethylformamide or toluene.
- 21. (Original) The process of claim 14 wherein  $R^1$  is H,  $R^2$  is Me,  $R^3$  is H,  $R^4$  is Cl,  $R^5$  is H,  $R^6$  is H,  $R^{8a}$  is H, and  $R^{8b}$  is H.
  - 22. (Original) A process for preparing a compound of Formula V:

$$R^4$$
 $R^5$ 
 $R^8b$ 
 $R^8a$ 
 $V$ 

or salt thereof,

wherein:

R<sup>1</sup> is H or C<sub>1</sub>-C<sub>8</sub> alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, - $CH_2$ -O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), or  $C_1$ - $C_4$  haloalkyl;

 $R^3$ ,  $R^4$ ,  $R^5$ , and  $R^6$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, hydroxy,  $OR^9$ , alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl,  $NR^{10}R^{11}$ , CN,  $NO_2$ , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from  $C_1$ - $C_8$  alkyl, halo,  $C_1$ - $C_8$  haloalkyl, and alkoxy; or  $R^4$  and  $R^5$  together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 11 of 22

hydroxyalkyl, or R<sup>8a</sup> and R<sup>8b</sup> together with the carbon atom to which they are attached form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring; comprising reacting a compound of Formula IX:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $R^8$ 

or salt thereof, wherein  $X^2$  is halo or  $SO_2R$ " and R" is  $C_1$ - $C_8$  alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_1$ - $C_4$  alkoxy, or  $C_1$ - $C_4$  haloalkoxy, with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.

- 23. (Original) The process of claim 22 wherein said cyclizing reagent is AlCl<sub>3</sub>.
- 24. (Original) The process of claim 22 wherein said reacting is carried out in the presence of 1,2-dichlorobenzene.
- 25. (Original) The process of claim 22 wherein said reacting is carried out at a temperature between about 100 and about 150 °C.
  - 26. (Original) The process of claim 22 wherein  $X^2$  is Cl.
- 27. (Original) The process of claim 22 wherein  $R^1$  is H,  $R^2$  is Me,  $R^3$  is H,  $R^4$  is Cl,  $R^5$  is H,  $R^6$  is H,  $R^{8a}$  is H, and  $R^{8b}$  is H.

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 12 of 22

## 28. (Original) A process for preparing a compound of Formula IX:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $R^8$ 
 $R^8$ 

or salt thereof,

wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, -CH<sub>2</sub>-O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), or  $C_1$ - $C_4$  haloalkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are each, independently, H, halo, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, hydroxy, OR<sup>9</sup>, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR<sup>10</sup>R<sup>11</sup>, CN, NO<sub>2</sub>, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, halo, C<sub>1</sub>-C<sub>8</sub> haloalkyl, and alkoxy; or R<sup>4</sup> and R<sup>5</sup> together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring; and

X<sup>2</sup> is halo or SO<sub>2</sub>R"; and

R" is  $C_1$ - $C_8$  alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_1$ - $C_4$  alkoxy, or  $C_1$ - $C_4$  haloalkoxy;

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 13 of 22

comprising reacting a compound of Formula X:

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $X$ 

or salt thereof, with a halogenating/sulfonating reagent for a time and under conditions suitable for forming said compound of Formula XI.

- 29. (Original) The process of claim 28 wherein said halogenating/sulfonating reagent is SOBr<sub>2</sub> or SOCl<sub>2</sub>.
  - 30. (Original) The process of claim 28 wherein  $X^2$  is Br.
  - 31. (Original) The process of claim 28 wherein  $X^2$  is Cl.
- 32. (Original) The process of claim 28 wherein said reacting is carried out in the presence of a solvent comprising dimethylformamide or toluene.
- 33. (Original) The process of claim 28 wherein said elevated temperature is from about -40 to about 80 °C.
- 34. (Original) The process of claim 28 wherein  $R^1$  is H,  $R^2$  is Me,  $R^3$  is H,  $R^4$  is Cl,  $R^5$  is H,  $R^6$  is H,  $R^{8a}$  is H, and  $R^{8b}$  is H.
  - 35. (Original) A process for preparing a compound of Formula X:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 14 of 22

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $X$ 

or salt thereof,

wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, -CH<sub>2</sub>-O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), or  $C_1$ - $C_4$  haloalkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are each, independently, H, halo, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, hydroxy, OR<sup>9</sup>, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR<sup>10</sup>R<sup>11</sup>, CN, NO<sub>2</sub>, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, halo, C<sub>1</sub>-C<sub>8</sub> haloalkyl, and alkoxy; or R<sup>4</sup> and R<sup>5</sup> together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring; comprising reacting a compound of Formula XI:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 15 of 22

$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $XI$ 

wherein X<sup>1</sup> is a leaving group, with a compound of Formula:

for a time and under conditions suitable for forming said compound of Formula X.

- 36. (Original) The process of claim 35 wherein  $X^1$  is Br.
- 37. (Original) The process of claim 35 wherein said temperature is from about 80 to about 110 °C.
- 38. (Original) The process of claim 35 wherein  $R^1$  is H,  $R^2$  is Me,  $R^3$  is H,  $R^4$  is Cl,  $R^5$  is H,  $R^6$  is H,  $R^{8a}$  is H, and  $R^{8b}$  is H.
  - 39. (Original) A compound of Formula IX or X:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 16 of 22

or salt form thereof,

wherein:

R<sup>1</sup> is H or C<sub>1</sub>-C<sub>8</sub> alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, - $CH_2$ -O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), or  $C_1$ - $C_4$  haloalkyl;

 $R^3$ ,  $R^4$ ,  $R^5$ , and  $R^6$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, hydroxy,  $OR^9$ , alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl,  $NR^{10}R^{11}$ , CN,  $NO_2$ , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from  $C_1$ - $C_8$  alkyl, halo,  $C_1$ - $C_8$  haloalkyl, and alkoxy; or  $R^4$  and  $R^5$  together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring;

X<sup>2</sup> is halo or SO<sub>2</sub>R"; and

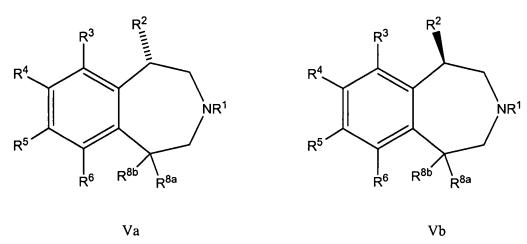
R" is  $C_1$ - $C_8$  alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_1$ - $C_4$ 

- 40. (Original) The compound of claim 39 wherein  $X^2$  is Cl.
- 41. (Original) The compound of claim 39 or 40 wherein  $R^1$  is H,  $R^2$  is Me,  $R^3$  is H,  $R^4$  is Cl,  $R^5$  is H,  $R^6$  is H,  $R^{8a}$  is H, and  $R^{8b}$  is H.
  - 42. (Original) A method of resolving a mixture of compounds of Formula Va and Vb:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 17 of 22



wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, -CH<sub>2</sub>-O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), OH,  $C_1$ - $C_4$  haloalkyl, or CH<sub>2</sub>OH;

 $R^3$ ,  $R^4$ ,  $R^5$ , and  $R^6$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, hydroxy,  $OR^9$ , alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl,  $NR^{10}R^{11}$ , CN,  $NO_2$ , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from  $C_1$ - $C_8$  alkyl, halo,  $C_1$ - $C_8$  haloalkyl, and alkoxy; or  $R^4$  and  $R^5$  together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R<sup>10</sup> and R<sup>11</sup> are each, independently, H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> alkenyl, C<sub>1</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R<sup>10</sup> and R<sup>11</sup> together with the N atom to which they are attached form a heterocyclic ring; comprising:

contacting said mixture of compounds with a chiral resolving acid to form chiral resolving acid salts of said compounds, wherein said chiral resolving acid comprises substantially one stereoisomer; and precipitating said chiral resolving acid salts of said compounds, wherein the resulting precipitate is enriched in the chiral resolving acid salt of one of said compounds of Formula Va or Vb.

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 18 of 22

43. (Original) The method of claim 42 wherein said chiral resolving acid is tartaric acid.

- 44. (Original) The method of claim 42 wherein said chiral resolving acid is L-(+)-tartaric acid.
- 45. (Original) The method of claim 42 wherein said precipitate is enriched in the chiral resolving acid salt of said compound of Formula Vb.
- 46. (Original) The method of claim 42 or 44 wherein R<sup>1</sup> is H, R<sup>2</sup> is Me, R<sup>3</sup> is H, R<sup>4</sup> is Cl, R<sup>5</sup> is H, R<sup>6</sup> is H, R<sup>8a</sup> is H, and R<sup>8b</sup> is H.
  - 47. (Original) A chiral resolving acid salt of a compound of Formula Va or Vb:

wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, -CH<sub>2</sub>-O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), OH,  $C_1$ - $C_4$  haloalkyl, or CH<sub>2</sub>OH;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are each, independently, H, halo, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, hydroxy, OR<sup>9</sup>, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR<sup>10</sup>R<sup>11</sup>, CN, NO<sub>2</sub>, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, halo, C<sub>1</sub>-C<sub>8</sub> haloalkyl, and alkoxy; or R<sup>4</sup> and R<sup>5</sup> together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 19 of 22

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

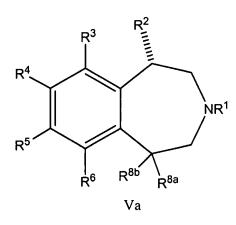
 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring.

- 48. (Original) The salt of claim 47 wherein said salt is a tartaric acid salt.
- 49. (Original) The salt of claim 47 wherein said tartaric acid is L-(+)-tartaric acid.
- 50. (Original) The salt of claim 47 having Formula Vb.
- 51. (Original) The salt of claim 47, 49, or 50 wherein R<sup>1</sup> is H, R<sup>2</sup> is Me, R<sup>3</sup> is H, R<sup>4</sup> is Cl, R<sup>5</sup> is H, R<sup>6</sup> is H, R<sup>8a</sup> is H, and R<sup>8b</sup> is H.
  - 52. (Original) A composition comprising at least one chiral resolving acid salt of claim 47.
- 53. (Original) The composition of claim 52 wherein said composition comprises said tartaric acid salt form of a compound of Formula Va and said tartaric acid salt form of a compound of Formula Vb, wherein said composition is enriched in one of either of said tartaric acid salt form of a compound of Formula Va or said tartaric acid salt form of a compound of Formula Vb.
  - 54. (Original) A hydrochloric acid salt of a compound of Formula Va or Vb:

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 20 of 22



$$R^4$$
 $R^5$ 
 $R^6$ 
 $R^{8b}$ 
 $R^{8a}$ 
 $Vb$ 

wherein:

 $R^1$  is H or  $C_1$ - $C_8$  alkyl;

 $R^2$  is  $C_1$ - $C_8$  alkyl, -CH<sub>2</sub>-O-( $C_1$ - $C_8$  alkyl), C(O)O-( $C_1$ - $C_8$  alkyl), -C(O)NH-( $C_1$ - $C_8$  alkyl), OH,  $C_1$ - $C_4$  haloalkyl, or CH<sub>2</sub>OH;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are each, independently, H, halo, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, hydroxy, OR<sup>9</sup>, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR<sup>10</sup>R<sup>11</sup>, CN, NO<sub>2</sub>, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C<sub>1</sub>-C<sub>8</sub> alkyl, halo, C<sub>1</sub>-C<sub>8</sub> haloalkyl, and alkoxy; or R<sup>4</sup> and R<sup>5</sup> together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

 $R^{8a}$  and  $R^{8b}$  are each, independently, H, halo,  $C_1$ - $C_8$  alkyl,  $C_2$ - $C_8$  alkenyl,  $C_2$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or  $R^{8a}$  and  $R^{8b}$  together with the carbon atom to which they are attached form a  $C_3$ - $C_7$  cycloalkyl group;

 $R^9$  is H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

 $R^{10}$  and  $R^{11}$  are each, independently, H,  $C_1$ - $C_8$  alkyl,  $C_1$ - $C_8$  alkenyl,  $C_1$ - $C_8$  alkynyl,  $C_3$ - $C_7$  cycloalkyl,  $C_1$ - $C_8$  haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or  $R^{10}$  and  $R^{11}$  together with the N atom to which they are attached form a heterocyclic ring.

- 55. (Original) The salt of claim 54 having Formula Vb.
- 56. (Currently amended) The salt of claim 54 or -55 wherein  $R^1$  is H,  $R^2$  is Me,  $R^3$  is H,  $R^4$  is Cl,  $R^5$  is H,  $R^6$  is H,  $R^{8a}$  is H, and  $R^{8b}$  is H.

Serial No.: 10/560,953

Filed: December 15, 2005

Page : 21 of 22

57. (Original) The salt of claim 54 having Formula Vb wherein R<sup>1</sup> is H, R<sup>2</sup> is Me, R<sup>3</sup> is H, R<sup>4</sup> is Cl, R<sup>5</sup> is H, R<sup>6</sup> is H, R<sup>8a</sup> is H, and R<sup>8b</sup> is H.

- 58. (Currently amended) A composition comprising at least one hydrochloric acid salt according to any one of claims 54 to 57 of claim 54.
  - 59. (New) A composition comprising a salt of claim 57.
  - 60. (New) A method for modulating a 5HT<sub>2C</sub> receptor comprising agonizing said receptor with an effective amount of said hydrochloric acid salt according to any one of claims 54 to 57.
  - 61. (New) A method for treating a 5- $HT_{2C}$  receptor disorder comprising administering to a patient in need of such treatment a therapeutically effective dose of a hydrochloride salt according to any one of claims 54 to 57.
  - 62. (New) A method for treating obesity comprising administering to a patient in need of such treatment a therapeutically effective dose of a hydrochloride salt according to any one of claims 54 to 57.
  - 63. (New) A method for decreasing food intake in a patient comprising administering to a patient in need thereof a therapeutically effective dose of a hydrochloride salt according to any one of claims 54 to 57.
  - 64. (New) A method for inducing satiety in a patient comprising administering to a patient in need thereof a therapeutically effective dose of a hydrochloride salt according to any one of claims 54 to 57.
  - 65. (New) A method for controlling weight gain in a patient comprising administering to a patient in need thereof a therapeutically effective dose of a hydrochloride salt according to any one of claims 54 to 57.